

AMENDMENTS TO THE CLAIMS

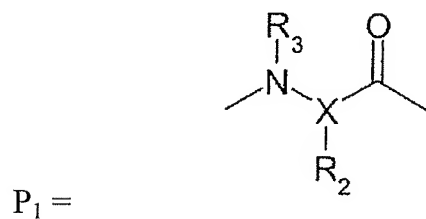
1-20. (Cancelled)

21. (Currently amended) A compound of the general formula I

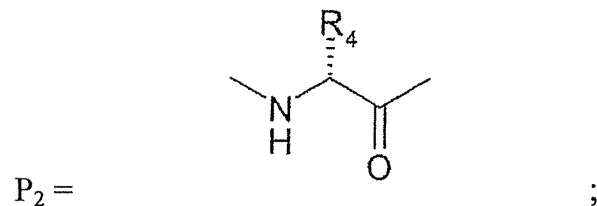


wherein

A is $P_2 - P_1$ in which



and



R_1 is H or $-(CH_2)_aCOOR_6$, in which $a = 0, 1, 2, 3, 4$, or 5 , preferably in which $a = 0, 1$, or 2 , and where R_6 is a branched or unbranched alkyl radical

preferably having from 1 to 6 C atoms, ~~in particular from 1 to 3 C atoms,~~
especially ethyl;

R₂ is an H, a branched or unbranched alkyl radical having from 1 to 8 C atoms,
preferably having from 1 to 3 C atoms, or

$-(CH_2)_cCOOR_8$, in which $c = 1, 2, 3$, or 4 , where R₈ is H or a branched or
unbranched alkyl radical preferably having from 1 to 6 C atoms, ~~in particular~~
~~from 1 to 3 C atoms, especially ethyl,~~ or

$-(CH_2)_d-OR_9$, in which $d = 1, 2, 3$, or 4 , where R₉ is H, or

$-(CH_2)_eR_{10}$, $-(CH_2)_e-OR_{10}$, $-(CH_2)_e-SR_{10}$, $-(CH_2)_e$ -guanidino, $-(CH_2)_e$ -
imidazole or $-(CH_2)_eNHR_{10}$, in which $e = 1, 2, 3, 4$, or 5 , where R₁₀ is H, a
branched or unbranched alkyl radical having 1-16, ~~in particular 1-8, especially~~
~~1-3 C atoms,~~ or a substituted or unsubstituted aryl, heteroaryl, aralkyl, or
heteroaralkyl radical, where the alkyl radical preferably possesses from 1 to
16, ~~in particular from 1 to 8, especially from 1 to 3,~~ C atoms, and the aryl or
heteroaryl radical preferably possesses from 4 to 14, ~~in particular from 6 to 10,~~
especially 6 C atoms, and preferably from 1 to 3 N as heteroatom, or
 $-(CH_2)_kO-CO-OR_{16}$, in which $k = 1, 2, 3, 4, 5, 6, 7$, or 8 , where R₁₆ is a branched
or unbranched alkyl having 1-16, ~~preferably 1-8, in particular 1-4, especially 1-2,~~
C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl
radical, or an adamantyl, a camphor, or a cyclohexylmethyl radical, ~~preferably~~
benzyl; and

R₅ is $-(CH_2)_g(CH_3)_h$, $-(CH_2)_i$ -aryl, in which $g + h = i = 0, 1, 2$, or 3 , $-SO_2R_{12}$, $-$

COR_{12} or $-COOR_{12}$, where R₁₂ is a branched or unbranched alkyl having 1-16,
preferably 1 to 8, ~~in particular 1 to 4, especially 1 to 2,~~ C atoms, a substituted
or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, or an

adamantyl, a camphor, or a cyclohexylmethyl radical, preferably benzyl, where R_5 is optionally modified with a charged or uncharged group, preferably a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, $-(CH_2)_jNH_2$, $-(CH_2)_j$ -amidino, $-(CH_2)_j$ -hydroxyamidino, or $-(CH_2)_j$ -guanidino group in which $j = 0, 1$, or 2 , where R_{13} is H or an alkyl radical preferably having from 1 to 6 C atoms, in particular ethyl; or

R_2 is $-(CH_2)_eCOOR_8$, in which $e = 1, 2, 3$, or 4 , where R_8 is H or a branched or unbranched alkyl radical preferably having from 1 to 6 C atoms, in particular from 1 to 3 C atoms, especially ethyl; or

~~$-(CH_2)_eSR_{10}$, $-(CH_2)_e$ -guanidino, $-(CH_2)_e$ -imidazole, or $-(CH_2)_eNHR_{10}$, in which $e = 1, 2, 3, 4$, or 5 , where R_{10} is H, a branched or unbranched alkyl radical having 1-16, in particular 1-8, especially 1-3, C atoms, or a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, where the alkyl radical preferably possesses from 1 to 16, in particular from 1 to 8, especially from 1 to 3, C atoms, and the aryl or heteroaryl radical preferably possesses from 4 to 14, in particular from 6 to 10, especially 6 C atoms, and preferably from 1 to 3 N as heteroatom, or $-(CH_2)_kO-CO-OR_{16}$, in which $k = 1, 2, 3, 4, 5, 6, 7$, or 8 , where R_{16} is a branched or unbranched alkyl having 1-16, preferably 1-8, in particular 1-4, especially 1-2, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl or heteroaralkyl radical, or an adamantyl, a camphor or a cyclohexylmethyl radical, preferably benzyl; and~~

R_5 is $-(CH_2)_g(CH_3)_h$, $-(CH_2)_i$ -aryl, in which $g + h = i = 0, 1, 2$, or 3 , SO_2R_{12} , COR_{12} or $COOR_{12}$, where R_{12} is a branched or unbranched alkyl having 1-16, preferably 1 to 8, in particular 1 to 4, especially 1 to 2, C atoms, a substituted

or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, or an adamantyl, a camphor, or a cyclohexylmethyl radical, preferably benzyl, where R_5 is modified with a charged or uncharged group, preferably a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, $-(CH_2)_jNH_2$, $-(CH_2)_j$ -amidino, $-(CH_2)_j$ -hydroxyamidino, or $-(CH_2)_j$ -guanidino group in which $j = 0, 1$, or 2 , where R_{13} is H or an alkyl radical preferably having from 1 to 6 C atoms, in particular ethyl;

R_3 is H or $-(CH_2)_bR_7$, in which $b = 1, 2, 3, 4, 5, 6, 7$, or 8 , preferably in which $b = 2$ or 3 , where R_7 is H, a branched or unbranched alkyl radical having from 1 to 10 C atoms, preferably having from 1 to 3 C atoms, or a charged radical, preferably a $-(CH_2)_jCOOR_{13}$, $-(CH_2)_jSO_2R_{13}$, or $-(CH_2)_jNH_2$, or $-(CH_2)_j$ -amidino, $-(CH_2)_j$ -hydroxyamidino, or $-(CH_2)_j$ -guanidino group in which $j = 0, 1$, or 2 , where R_{13} is H or an alkyl radical preferably having from 1 to 6 C atoms, in particular from 1 to 4, especially ethyl, and with P_1 being present in the L configuration in the structure A;

R_4 is a branched or unbranched alkyl radical having from 1 to 8, preferably from 1 to 3, C atoms, $-(CH_2)_fOR_{11}$, $-(CH_2)_fSR_{11}$, or $-(CH_2)_fNHR_{11}$ in which $f = 1, 2, 3, 4, 5, 6, 7$, or 8 , where R_{11} is H or $-CO-OR_{17}$, where R_{17} is a branched or unbranched alkyl having 1-16, preferably 1-8, in particular 1-4, especially 1-2, C atoms, a substituted or unsubstituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical, or an adamantyl, a camphor, or a cyclohexylmethyl radical, preferably benzyl, and with P_2 being present in the D configuration in the structure A;

U is a phenyl or cyclohexyl radical or a heterophenyl or heterocyclohexyl radical preferably having at least one N, S, or O as heteroatom, in particular

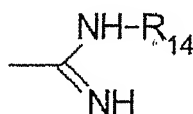
~~pyridine, piperidine, or pyrimidine;~~

V is $(\text{CH}_2)_n$ in which n is 0, 1, 2, or 3, ~~preferably 0;~~

X is N or CH, ~~preferably CH;~~

Y is N or $(\text{CH})_m$ in which m = 0 or 1, ~~preferably CH;~~

Z occurs in the 3 or 4 position and is an aminomethyl, a guanidine, or an amidino group



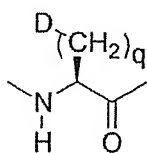
where R_{14} is H, OH, NH_2 , $-\text{COR}_{15}$ or $-\text{COOR}_{15}$, where R_{15} is a branched or unbranched alkyl radical having from 1 to 16, ~~preferably from 1 to 8, in particular from 1 to 4, especially from 1 to 2,~~ C atoms or a substituted or unsubstituted aryl or heteroaryl, aralkyl, or heteroaralkyl radical, where the alkyl radical ~~preferably possesses from 1 to 16, in particular from 1 to 8, especially from 1 to 4, and particularly preferably from 1 to 2,~~ C atoms and the aryl or heteroaryl radical ~~preferably possesses from 4 to 14, in particular from 6 to 10, especially 6,~~ C atoms and, ~~preferably,~~ from 1 to 3 N as heteroatom;

characterized in that one or more charged radicals, ~~preferably~~ derived from $-\text{COOH}$, $-\text{CH}(\text{COOH})_2$, $-\text{SO}_2\text{H}$, NH_2 , an amidino, hydroxyamidino, amidrazono, or guanidino group, ~~is/are~~ present in the radicals R_1 , R_2 , R_3 or R_5 ;

or a compound of the general formula I in the form of a prodrug or in the form of its salt.

22. (Withdrawn - currently amended) The compound as claimed in claim 21, in which an amino group-functionalized or carboxyl group-functionalized oligo- or polyalkylene glycol chain, ~~in particular a poly- or oligoethylene glycol chain or poly- or oligopropylene glycol chain,~~ is coupled directly to a functional group of R_2 , ~~in particular by way of an NH or a CO group,~~ with the formation of an amide bond at R_2 , with the oligo- or polyalkylene glycol chain possessing a ~~functional group, in particular~~ a substituted or unsubstituted amino group and/or carboxyl group, at least at both ends, or with the oligo- or polyalkylene glycol chain possessing a ~~functional group, in particular~~ a substituted or unsubstituted amino group and/or carboxyl group, at one end and being present, at the other end, as an alkyl ether having 1-4 C atoms, ~~in particular as methyl ether,~~ with R_2 preferably being
- (a) $-(CH_2)_n-NH_2$ in which n is 1-5, ~~preferably 4,~~ or
- (b) $-(CH_2)_n-COOH$ in which n is 1-5, ~~preferably 1-3.~~

23. (Withdrawn - currently amended) The compound as claimed in claim ~~22~~ 21, wherein, after coupling the oligo- or polyalkylene glycol, P_1 has the general formula II



(II),

where q is 0, 1, 2, 3, 4, or 5 and D is formula III

E - F - G -

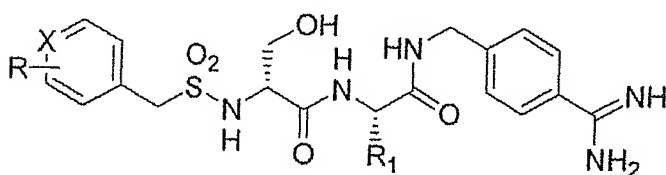
(III)

where, when E is an H_2N , $\text{HOOC}-(\text{CH}_2)_n-\text{CO}-\text{NH}$, HOOC , or $\text{H}_2\text{N}-(\text{CH}_2)_n-\text{NH}-\text{CO}$ group, F is an oligo- or polyalkylene glycol of the general formula $-(\text{CH}_2)_d-[\text{O}-\text{CH}_2-\text{CH}_2]_v\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$ or $-(\text{CH}_2)_d-[\text{O}-\text{CH}(\text{CH}_3)-\text{CH}_2]_v\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$, in which $d = 1, 2, 3$, or 4 , $v =$ an integer from 1 to 1000 , preferably from 2 to 250 , $m = 0, 1, 2, 3$, or 4 , and $k = 0$ or 1 , or, when E is a CH_3-O group, F is an oligo- or polyalkylene glycol chain of the general formula $-(\text{CH}_2)_d-[\text{O}-\text{CH}_2-\text{CH}_2]_v\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$ or $-(\text{CH}_2)_d-[\text{O}-\text{CH}(\text{CH}_3)-\text{CH}_2]_v\text{O}-(\text{CH}_2)_m-(\text{NH}-\text{CO}-\text{CH}_2-\text{O}-\text{CH}_2)_k-$, in which $d = 1, 2, 3$, or 4 , $v =$ an integer from 1 to 1000 , preferably from 1 to 250 , $m = 0, 1, 2, 3$, or 4 , and $k = 0$ or 1 ; and G is $-\text{CO}-\text{NH}-$ or $-\text{NH}-\text{CO}-$.

24. (Withdrawn - currently amended) The compound as claimed in claim 21, wherein U is substituted at 1, 2, or 3 positions, preferably by a halogen, in particular fluorine or chlorine, or a methyl, ethyl, propyl, methoxy, ethoxy, or propoxy radical.
25. (Withdrawn - currently amended) The compound as claimed in claim 21, wherein a carboxyl group is protected as an ester, preferably as an ethyl ester.
26. (Withdrawn - currently amended) The compound as claimed in claim 21, in the form of a prodrug, with R_9 and/or R_{11} in this case being an alkylcarbonyl, aralkylcarbonyl, alkyloxycarbonyl, or aralkoxycarbonyl radical, with the alkyl radical preferably having from 1 to 6, in particular from 1 to 4, C atoms and the aryl radical preferably having from 5 to 8, in particular 6, C atoms.

27. (Withdrawn - currently amended) The compound as claimed in claim 21, characterized in that the amidino group is in the 4 position in the amidinobenzylamide radical and in that P₂ is derived from the amino acid D-Ser and P₁ is derived from glycine, alanine, serine, aspartic acid or glutamic acid, and in that R₅ is an unsubstituted aryl- or aralkylsulfonyl radical, or such a radical provided with a carboxyl group or carboxyalkyl group, having from 1 to 16, ~~preferably from 1 to 8, in particular from 1 to 4, especially from 1 to 2,~~ C atoms in the alkyl radical and from 6 to 14, ~~preferably from 6 to 10, in particular 6,~~ C atoms in the aryl radical.
28. (Currently amended) The compound as claimed in claim 21, characterized in that the amidino group is in the 4 position in the amidinobenzylamide radical and in that P₂ is the amino acid D-Ser and P₁ is a natural or artificial, unsubstituted or substituted, basic amino acid in the L configuration, for example selected from the group consisting of Lys, homoLys, Arg, norArg, homoArg, His, Orn, Orn(2-imidazoliny), Dab, 4-[(2-amino)pyrimidinyl]butyric acid, Dap, Ala[3-(2-pyrrolidinyl)], Ala[3-pyrrolidinyl-(2-N-amidino)], Ala[3-(N-piperazine-4-N-amidino)], Ala(4-Pip), Ala[4-Pip(N-amidino)], homoAla(4-Pip), Ala[3-Pip(N-amidino)], homoAla(3-Pip), homoAla[4-Pip(N-amidino)], Ala-(3-guanidino), Phe(3-amidino), Phe(4-amidino), Phe(3-NH₂), Phe(4-NH₂), Phe(3-guanidino), Phe(4-guanidino), Phe[4-(2-imidazoliny)], Phe[3-CH₂-(guanidino)], Phe[4-CH₂-(guanidino)], homoPhe(3-amidino), homoPhe(4-amidino), hPhe(3-NH₂), hPhe(4-NH₂), hPhe(3-guanidino), hPhe(4-guanidino), cis-Cha(4-NH₂), trans-Cha(4-NH₂), cis-homoCha(4-NH₂), trans-homoCha(4-NH₂), trans-Cha(4-CH₂NH₂), and trans-homoCha(4-CH₂NH₂), and in that R₅ is a sulfonyl group-provided aryl- or aralkylsulfonyl radical having from 1 to 16, ~~preferably from 1 to 8, in particular from 1 to 4, especially from 1 to 2,~~ C atoms in the alkyl radical and from 6 to 14, ~~preferably from 6 to 10, in particular 6,~~ C atoms in the aryl radical, which is bonded to the amino group of the D-Ser.

29. (Previously presented) The compound as claimed in claim 28, characterized in that P_1 is the amino acid Lys or Arg.
30. (Withdrawn -currently amended) The compound as claimed in claim 21, characterized in that the substituent on the substituted aryl, heteroaryl, aralkyl, or heteroaralkyl radical is a halogen, ~~preferably fluorine, chlorine, or bromine, in particular fluorine or chlorine.~~
31. (Withdrawn) The compound as claimed in claim 21, characterized in that a compound of the general formula I has the following structure:



in which R is COOH, HOOC-(CH₂)_p- or R₁₈OOC-(CH₂)_p- in which p = 1 and 2 and R₁₈ = methyl or ethyl, or COOMe in ortho, meta or para, or H, and X is CH and R₁ is H; or

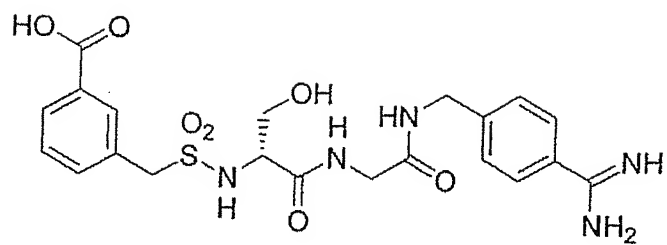
R is 4-COOH or 3-COOH, with X being CH and R₁ being H, CH₃ or CH₂-OH; or

R is 4-CN, with X being CH and R₁ being CH₃; or

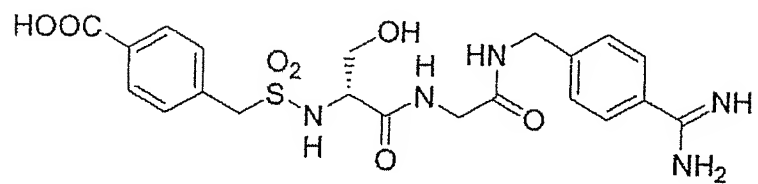
R is 4-(NH₂-CH₂), with X being CH and R₁ being H; or

R is 4-COOMe, with X being CH and R₁ being CH₂-OH.

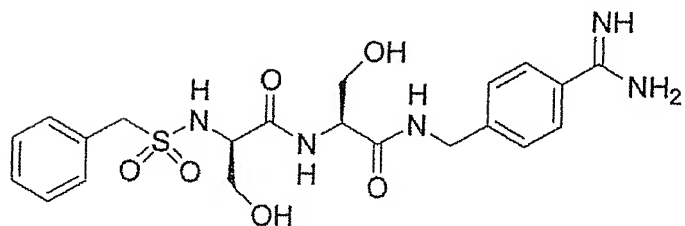
32. (Withdrawn) The compound as claimed in claim 21, characterized in that a compound of the general formula I has one of the following structures:



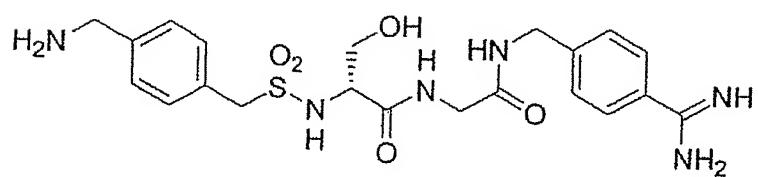
or



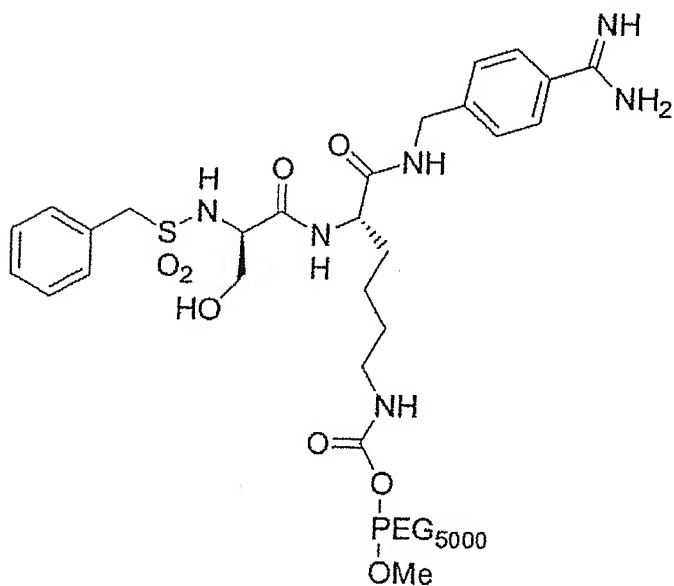
or



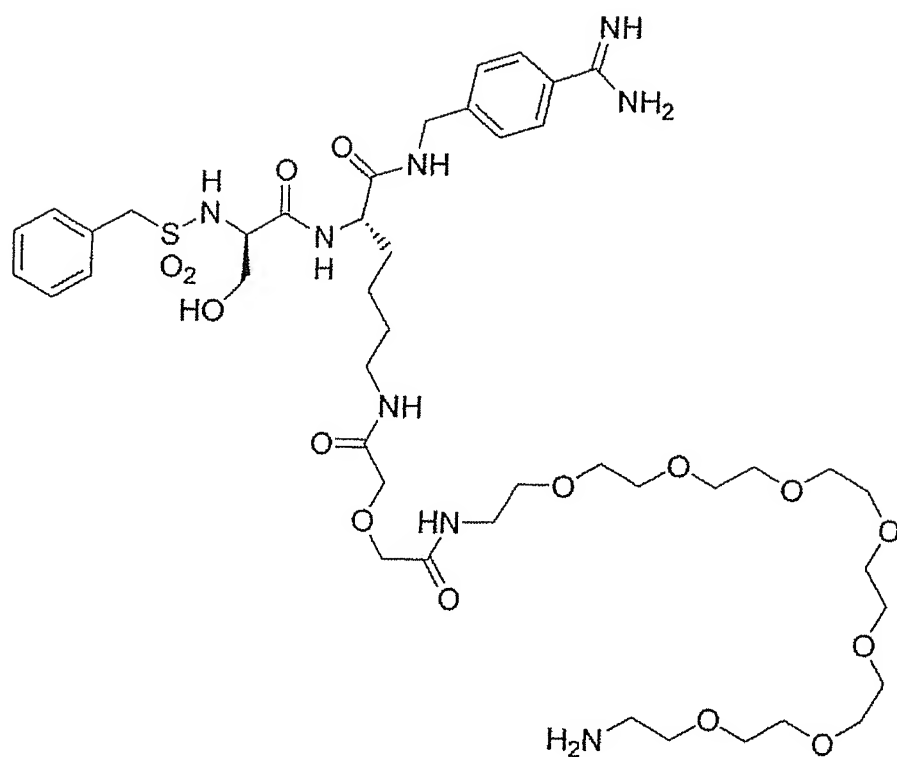
or



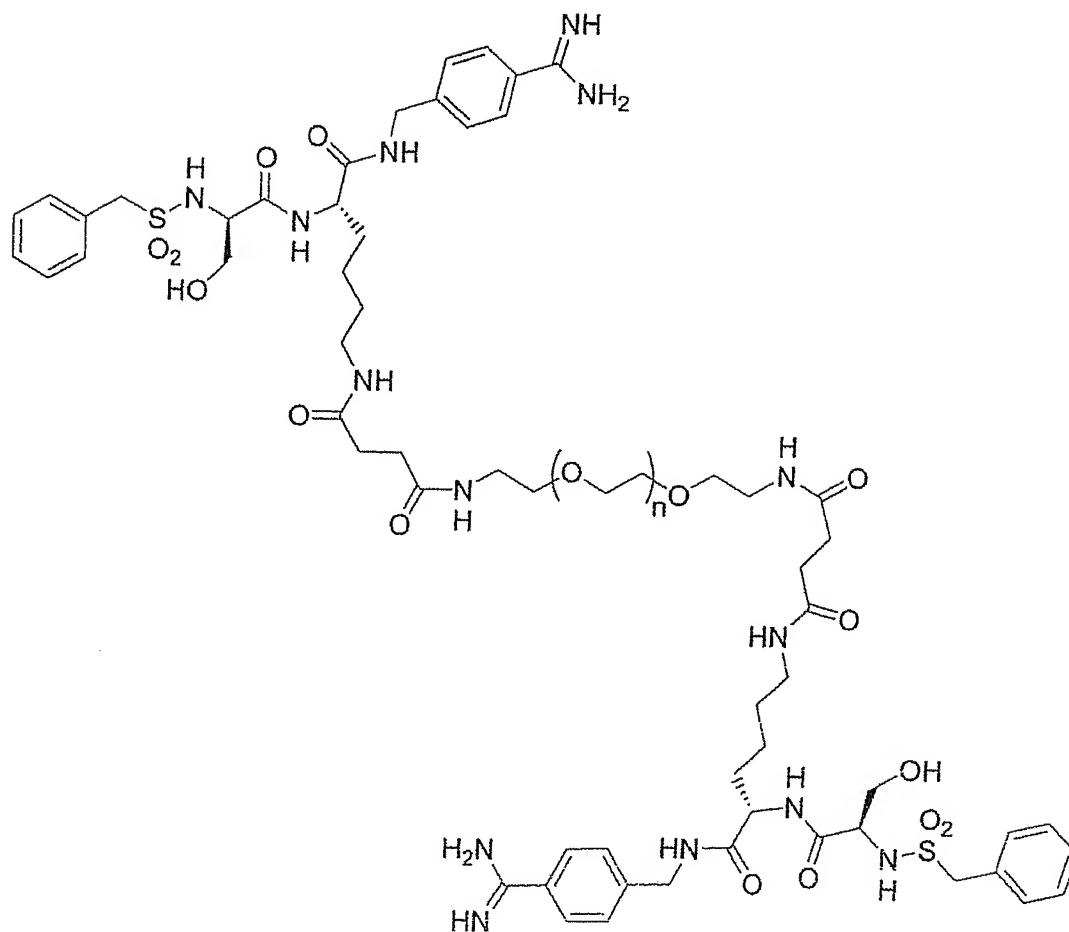
33. (Withdrawn) The compound as claimed in claim 21, characterized in that a compound of the general formula I has one of the following structures:



or



or



in which $n = 2$ to 250 .

34. (Currently amended) The compound as claimed in claim 21, characterized in that the compounds are mineral acid or organic acid salts ~~preferably present as salts, preferably with mineral acids, preferably as hydrochloride, or preferably as salts with suitable organic acids.~~

35. (Currently amended) The compound as claimed in claim 34, characterized in that said salts are preferred salts of mineral acids ~~are also sulfates and suitable organic acids are, for example, acetic acid, formic acid, methanesulfonic acid, succinic acid, malic acid, or trifluoroacetic acid, with preferred salts of organic acids being acetates.~~
36. (Previously presented) A process for preparing a compound as claimed in claim 21, the process comprising sequentially coupling the appropriate amino acids to a 4-acetyloxamidinobenzylamine, with either the N-terminal amino acid already carrying the R₅ radical or with this radical subsequently being bonded to it.
37. (Previously presented) A pharmaceutical composition comprising a compound as claimed in claim 21 and pharmaceutically suitable auxiliary substances and/or additives.
38. (Currently amended) The pharmaceutical composition as claimed in claim 37, wherein the pharmaceutical composition is used in the form of a tablet, a sugar-coated tablet, a capsule, a pellet, a suppository, a solution, ~~in particular an injection solution~~ or infusion solution, eyedrops, nose drops and ear drops, a juice, an emulsion or suspension, a globule, a stylus, an aerosol, a powder, a paste, a cream or an ointment.
39. (Withdrawn - currently amended) A method of treating or preventing a tumor, in particular for reducing the formation of tumor metastases, said method comprising administering to a patient a compound as claimed in claim 21, ~~preferably in oral, subcutaneous, intravenous or transdermal form.~~
40. (New) The compound as claimed in claim 21 being benzylsulfonyl-dSer-Arg-4-amidinobenzylamide.